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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAY 01	New CAS web site launched
NEWS	3	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS	4	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	5	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	6	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS	7	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS	8	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS	9	JUN 27	CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS	10	JUN 29	STN Viewer now available
NEWS	11	JUN 29	STN Express, Version 8.2, now available
NEWS	12	JUL 02	LEMBASE coverage updated
NEWS	13	JUL 02	LMEDLINE coverage updated
NEWS	14	JUL 02	SCISEARCH enhanced with complete author names
NEWS	15	JUL 02	CHEMCATS accession numbers revised
NEWS	16	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS	17	JUL 16	CAplus enhanced with French and German abstracts
NEWS	18	JUL 18	CA/CAplus patent coverage enhanced
NEWS	19	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	20	JUL 30	USGENE now available on STN
NEWS	21	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	22	AUG 06	BEILSTEIN updated with new compounds
NEWS	23	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	24	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:11:46 ON 15 AUG 2007

=> file casreact
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'CASREACT' ENTERED AT 07:11:57 ON 15 AUG 2007
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FILE CONTENT:1840 - 11 Aug 2007 VOL 147 ISS 8

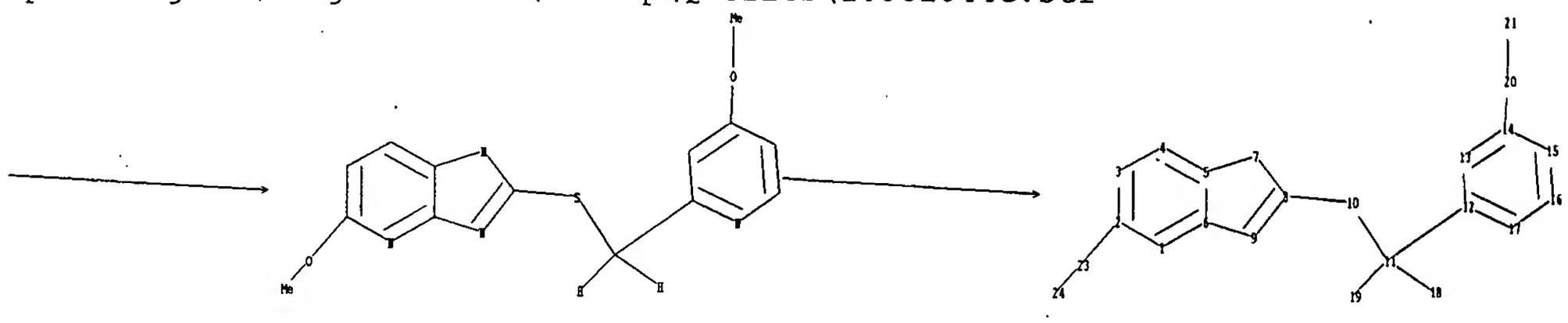
New CAS Information Use Policies, enter HELP USAGETERMS for details.

****
*
* CASREACT now has more than 12 million reactions
*
****

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10561844c.str



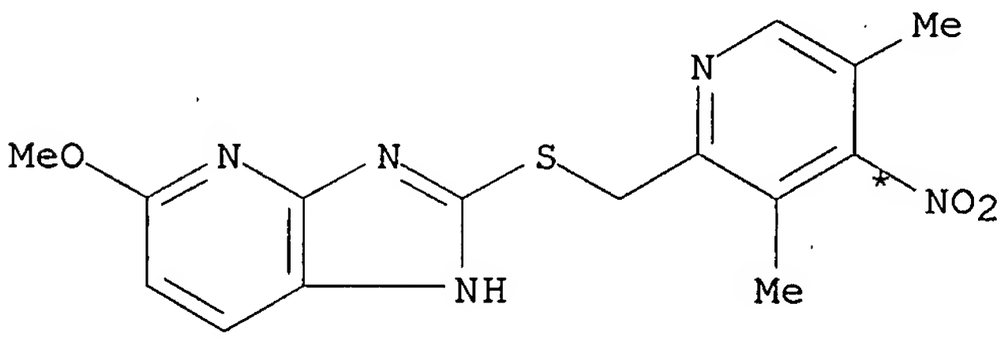
chain nodes :
10 11 18 19 20 21 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
2-23 8-10 10-11 11-12 11-18 11-19 14-20 20-21 23-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
2-23 5-7 6-9 7-8 8-9 8-10 10-11 14-20
exact bonds :
11-12 11-18 11-19 20-21 23-24

```
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  12-13  12-17  13-14  14-15  15-16  16-17
isolated ring systems :
containing 1 : 12 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:CLASS  19:CLASS
20:CLASS  21:CLASS  23:CLASS  24:CLASS
fragments assigned product role:
containing 1



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 07:12:17 FILE 'CASREACT'
SCREENING COMPLETE -        0 REACTIONS TO VERIFY FROM         0 DOCUMENTS

100.0% DONE       0 VERIFIED       0 HIT RXNS                        0 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED VERIFICATIONS:         0 TO        0
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1 (     0 REACTIONS)

=> s l1 full
FULL SEARCH INITIATED 07:12:22 FILE 'CASREACT'
SCREENING COMPLETE -      375 REACTIONS TO VERIFY FROM        15 DOCUMENTS

100.0% DONE     375 VERIFIED     119 HIT RXNS                      9 DOCS
SEARCH TIME: 00.00.01

L3            9 SEA SSS FUL L1 (   119 REACTIONS)

=> d bib abs fhit tot


L3   ANSWER 1 OF 9  CASREACT  COPYRIGHT 2007 ACS on STN
AN   146:45510  CASREACT
TI   Synthesis of tenatoprazole
IN   Dai, Liyan; Wang, Xiaozhong; Chen, Yingqi
PA   Zhejiang University, Peop. Rep. China
SO   Faming Zhuanli Shenqing Gongkai Shuomingshu, 10pp.
     CODEN: CNXXEV
DT   Patent
LA   Chinese
FAN.CNT 1
```

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1861600	A	20061115	CN 2006-10051971	20060614
PRAI	CN 2006-10051971		20060614		

AB The title method comprises the steps of: (1) using 2,3,5-trimethyl-4-nitropyridine N-oxide as the raw material, rearranging in the presence of anhydride at 60-120°C and hydrolyzing at 50-70°C to obtain 2-hydroxymethyl-3,5-dimethyl-4-nitropyridine, (2) reacting with chlorinating agent to obtain 2-chloromethyl-3,5-dimethyl-4-nitropyridine, (3) condensing with 2-mercapto-5-methoxyimidazo[4,5-b]pyridine at 40-65°C to obtain 2-(3,5-dimethyl-4--nitropyridine-2-methylthio)-5-methoxyimidazo[4,5-b]pyridine, (4) reacting with sodium methoxide to obtain 2-(3-5-demethyl-4-methoxypyridine-2-methylthio)-5-methoxyimidazo[4,5-b]pyridine, and (5) dissolving 2-(3-5-demethyl-4-methoxypyridine-2-methylthio)-5-methoxyimidazo[4,5-b]pyridine in halohydrocarbon and oxidating at (-25)-(-5)°C with organic peracid as the oxidant to obtain tenatoprazole.

RX(4) OF 23 ...L + C ===> O...



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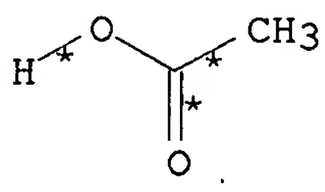

C

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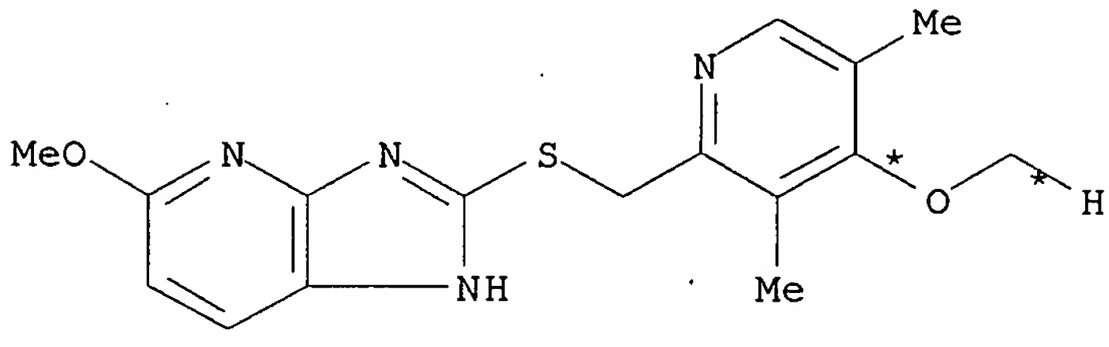


O

YIELD 83%

RX(4) RCT L 153476-67-6, C 64-19-7

STAGE(1)
SOL 67-56-1 MeOH
CON SUBSTAGE(1) 2 hours, 65 deg C
SUBSTAGE(2) 3 hours, 65 deg C

STAGE(2)
RGT C 64-19-7 AcOH
CON pH 8

PRO O 113713-24-9

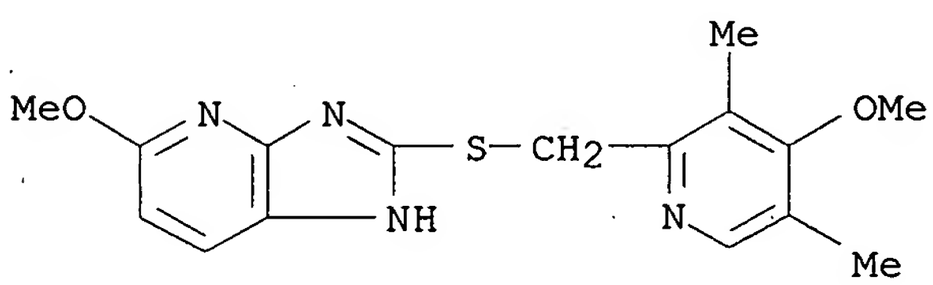
L3 ANSWER 2 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 144:412513 CASREACT

TI Process for the preparation of tenatoprazole salts
IN Joshi, Ramesh Anna; Joshi, Rohini Ramesh; Wakchaure, Vijay Naryan; Gurjar, Mukund Keshav
PA India
SO U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006089376	A1	20060427	US 2004-973983	20041027
	US 2006089377	A1	20060427	US 2005-175027	20050706
	US 2006270711	A1	20061130	US 2006-490247	20060721
PRAI	US 2004-973983	20041027			
	US 2005-175027	20050706			

AB Li, Na, Ca, K, or Mg salts of 5-methoxy-2-(4-methoxy-3,5dimethylpyridin-2-ylmethylsulfinyl)imidazo[4,5-b]pyridine (i.e., tenatoprazole) are prepared in high yield and selectivity by oxidizing the corresponding tenatoprazole sulfide with an oxidant (e.g., m-chloroperbenzoic acid) and isolating the salt (Li, Na) by treatment with an alkali (e.g., sodium hydroxide) or exchanging the sodium salt of tenatoprazole with a Mg2+ or Ca2+ cation (e.g., by treatment of the sodium salt of tenatoprazole with calcium chloride).

RX(1) OF 7 A ===> B...



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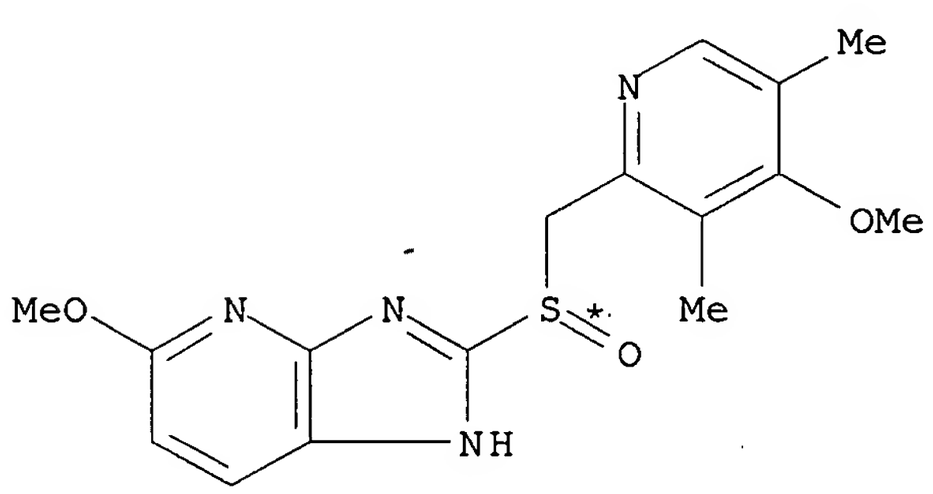

B
YIELD 76%

RX(1) RCT A 113713-24-9

STAGE(1)
RGT C 584-08-7 K2CO3
SOL 7732-18-5 Water, 67-66-3 CHCl3
CON room temperature -> 5 deg C

STAGE(2)
RGT D 937-14-4 MCPBA
SOL 67-66-3 CHCl3
CON SUBSTAGE(1) 85 minutes, 0 - 5 deg C
SUBSTAGE(2) 20 minutes, 0 - 5 deg C

STAGE(3)
RGT E 1310-73-2 NaOH
SOL 7732-18-5 Water

PRO B 335299-59-7

L3 ANSWER 3 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 144:412510 CASREACT
TI Process for the preparation of tenatoprazole salts
IN Joshi, Ramesh Anna; Joshi, Rohini Ramesh; Wakchaure, Vijay Naryan; Gurjar, Mukund Keshav
PA Council of Scientific and Industrial Research, India
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006043280	A1	20060427	WO 2004-IN328	20041019

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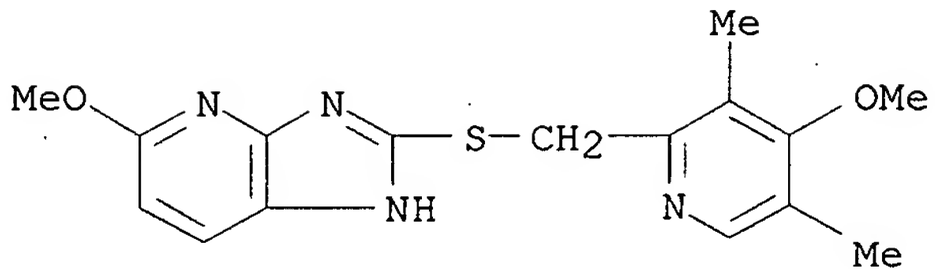
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI WO 2004-IN328 20041019
AB Li, Na, Ca, K, or Mg salts of 5-methoxy-2-(4-methoxy-3,5dimethylpyridin-2-ylmethylsulfinyl)imidazo[4,5-b]pyridine (i.e., tenatoprazole) are prepared in high yield and selectivity by oxidizing the corresponding tenatoprazole sulfide with an oxidant (e.g., m-chloroperbenzoic acid) and isolating the salt (Li, Na) by treatment with an alkali (e.g., sodium hydroxide) or exchanging the sodium salt of tenatoprazole with a Mg2+ or Ca2+ cation (e.g., by treatment of the sodium salt of tenatoprazole with calcium chloride).

RX(1) OF 3 A ===> B



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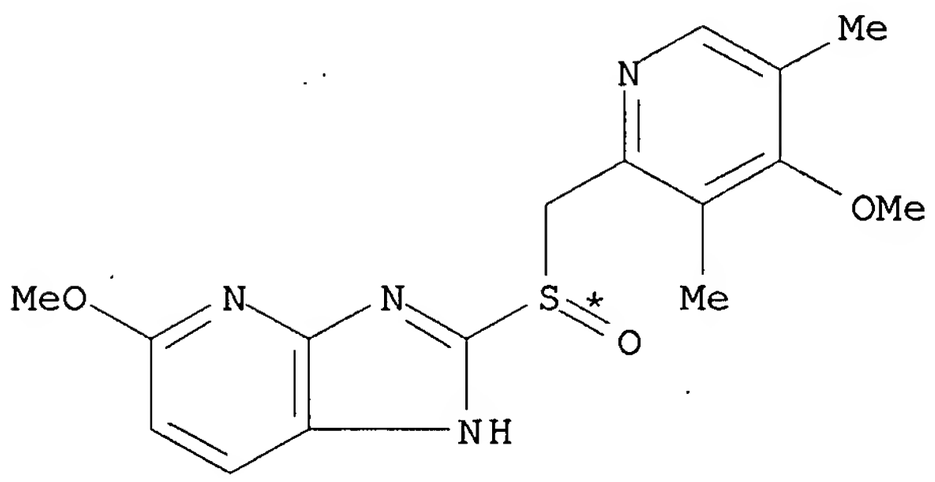

● Na

B
YIELD 76%

RX(1) RCT A 113713-24-9

STAGE(1)
RGT C 298-14-6 KHCO3, D 937-14-4 MCPBA
SOL 7732-18-5 Water, 67-66-3 CHCl3
CON SUBSTAGE(1) room temperature -> 5 deg C
SUBSTAGE(2) 85 minutes, 0 - 5 deg C
SUBSTAGE(3) 20 minutes, 0 - 5 deg C

STAGE(2)
RGT E 1310-73-2 NaOH
SOL 7732-18-5 Water

PRO B 335299-59-7
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 144:370094 CASREACT
TI Process for preparation of sulfoxides, particularly tenatoprazole enantiomers and its analogs, by enantioselective oxidation using titanium(IV)-based catalyst and chiral α- or β-amino alcohol ligand
IN Cohen, Avraham; Schutze, Francois; Charbit, Suzy; Martinet, Frederic; Gizecki, Patricia
PA Sidem Pharma SA, Luxembourg
SO Fr. Demande, 22 pp.
CODEN: FRXXBL

DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2876101	A1	20060407	FR 2004-10483	20041005
	FR 2876101	B1	20070302		
	AU 2005291156	A1	20060413	AU 2005-291156	20051005
	CA 2580446	A1	20060413	CA 2005-2580446	20051005
	WO 2006037894	A1	20060413	WO 2005-FR2447	20051005

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

	EP 1802620	A1	20070704	EP 2005-804208	20051005
	IN 2007DN02060	A	20070803	IN 2007-DN2060	20070316
	NO 2007001524	A	20070427	NO 2007-1524	20070323

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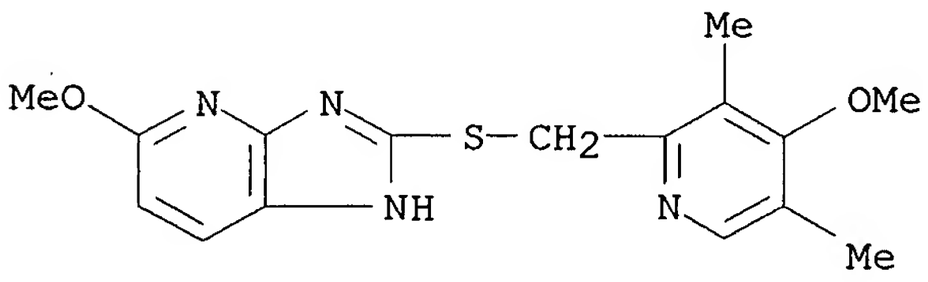
PRAI	FR 2004-10483	20041005
	WO 2005-FR2447	20051005

OS MARPAT 144:370094

AB The invention is related to the preparation of enantiomeric sulfoxide derivs., and their salts, particularly tenatoprazole enantiomers and its analogs, by enantioselective oxidation of sulfides of formula A-CH2-S-B [A = substituted pyridinyl; B = (un)substituted imidazo-pyridinyl] with an oxidation agent in the presence of a Ti(IV)-based catalyst and a chiral cyclic α- or β-amino alc. ligand, followed by optional salt formation. The advantages include high enantiomeric excess (e.e.), reduced amts. of undesired sulfones, high product purity and yield. Thus, addition of Ti(IV) isopropylate, followed by cumene hydroperoxide to a solution of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfanyl]imidazo[4,5-b]pyridine and (1R,2S)-(+)-1-amino-2-indanol in anhydrous Py, and stirring the resulting mixture at 22° for 5 h gave (S)-(-)-tenatoprazole in 97% e.e. with 4% sulfone in the crude product.

RX(1) OF 2 2 A ===> B + C



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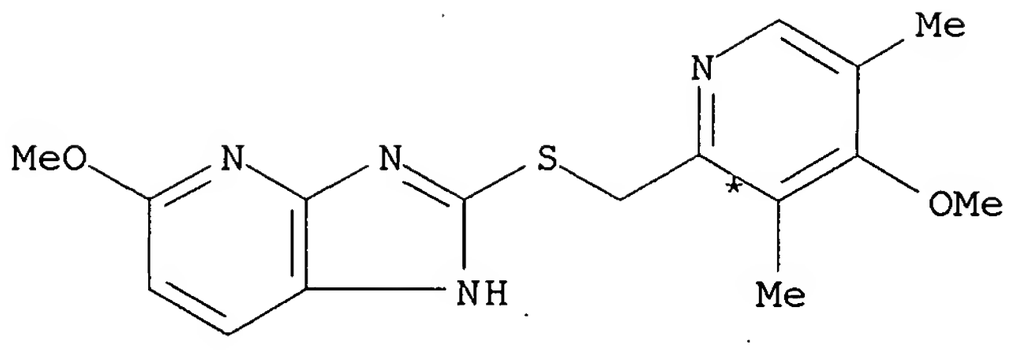

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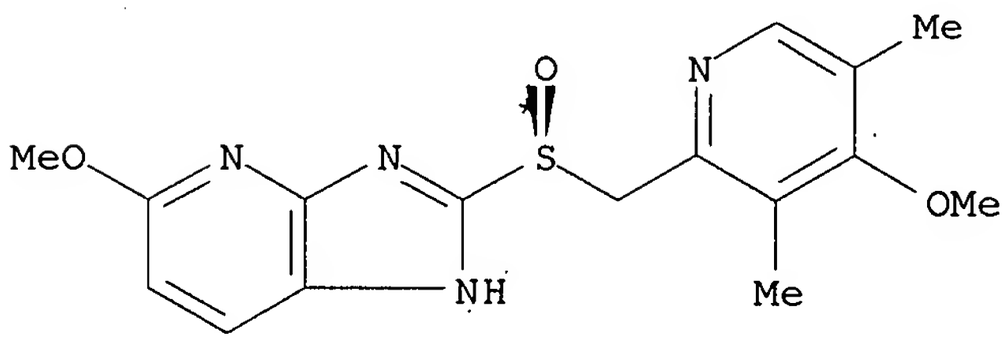

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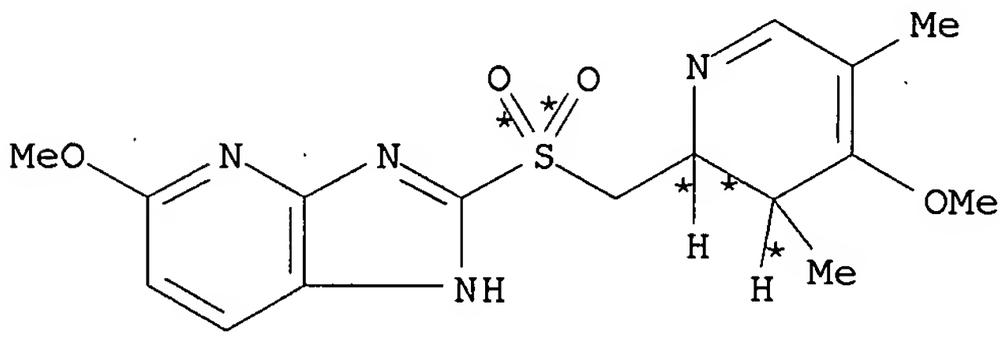

C

RX(1) RCT A 113713-24-9
RGT D 80-15-9 Cumene hydroperoxide, E 136030-00-7 1H-Inden-2-ol, 1-amino-2,3-dihydro-, (1R,2S)-
PRO B 705968-86-1, C 882039-29-4
CAT 546-68-9 Ti(OPr-i)4
SOL 872-50-4 NMEP
CON 5 hours, 22 deg C
NTE optimization study(optimized on solvent), stereoselective
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 143:440411 CASREACT
TI Preparation of dialkoxy imidazopyridine derivatives for treatment of gastrointestinal disorders
IN Zimmermann, Peter Jan; Buhr, Wilm
PA Altana Pharma AG, Germany
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005105799	A1	20051110	WO 2005-EP51851	20050426
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005238215	A1	20051110	AU 2005-238215	20050426
	CA 2563808	A1	20051110	CA 2005-2563808	20050426
	EP 1742946	A1	20070117	EP 2005-740172	20050426
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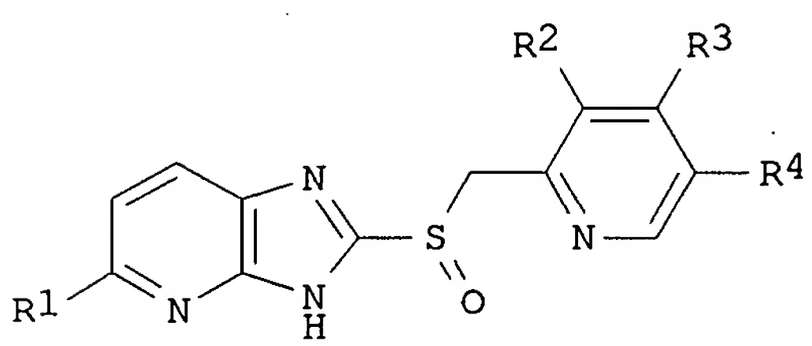
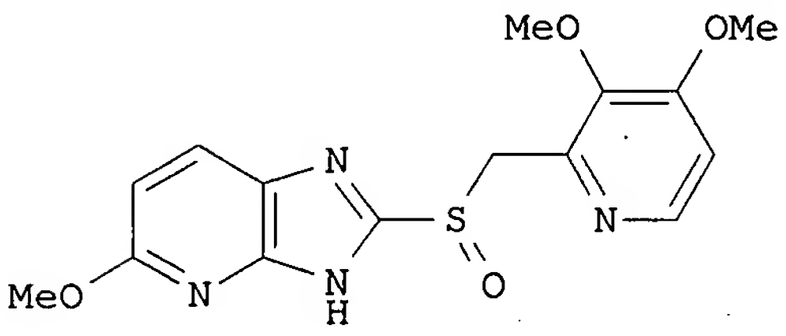
	CN 1946722	A	20070411	CN 2005-80012903	20050426
	NO 2006005200	A	20061113	NO 2006-5200	20061113
	IN 2006MN01407	A	20070608	IN 2006-MN1407	20061120
PRAI	EP 2004-10042	20040428			
	WO 2005-EP51851	20050426			
OS	MARPAT 143:440411				

GI



I

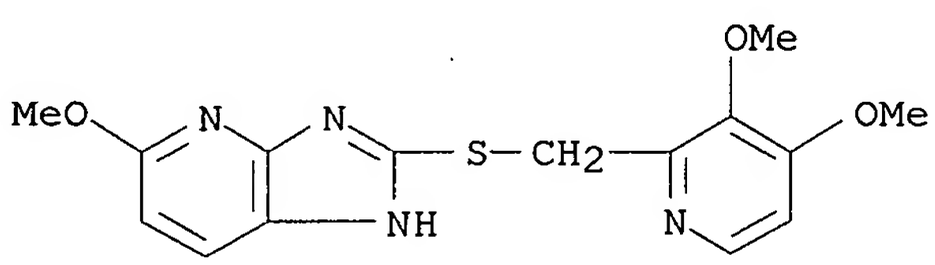


II

AB Title compds. I [R1 = alkoxy or cycloalkylalkoxy; R2 = alkoxy; R3 = alkoxy or alkoxyalkoxy; R4 = H or alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as treatment for gastrointestinal disorders. Thus, e.g., II was prepared by coupling of 5-methoxy-3H-imidazo[4,5-b]pyridine-2-thiol with 2-chloromethyl-3,4-dimethoxy pyridinium chloride and subsequent oxidation The ability of I to inhibit acid secretion on the perfused rat stomach was evaluated and it was

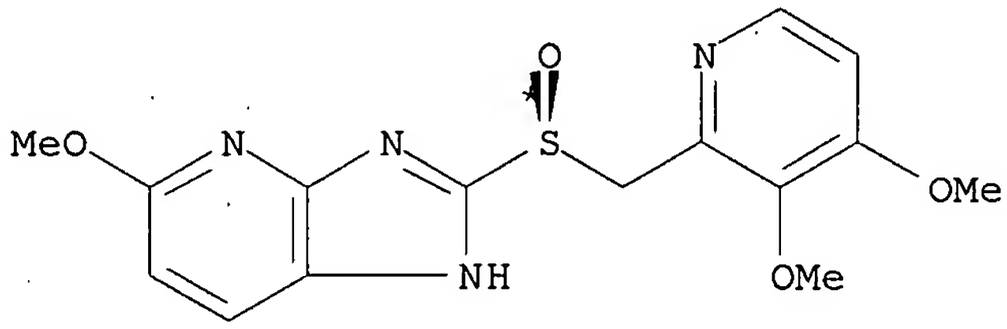
revealed that selected compds. of the invention displayed inhibitory activity above 50%. Pharmaceutical compns. comprising I are disclosed.

RX(1) OF 3 ...2 A ===> B + C

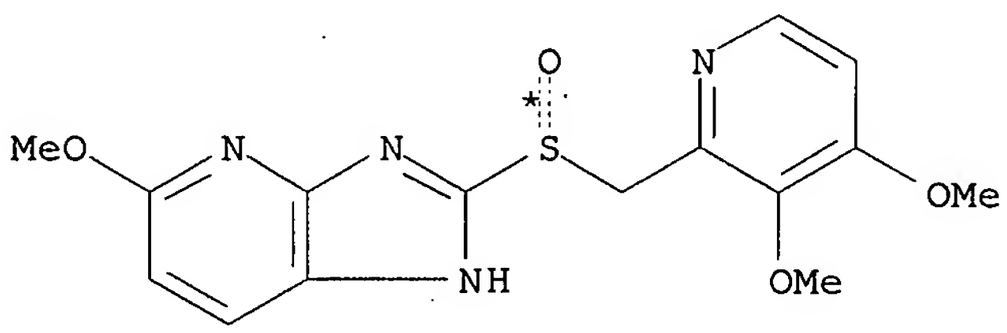


2 A

(1) →



B
YIELD 63%(49)



C
YIELD 63%(51)

RX(1) RCT A 868700-13-4

STAGE(1)
RGT D 937-14-4 MCPBA
SOL 75-09-2 CH2Cl2
CON SUBSTAGE(1) -10 deg C -> 0 deg C
SUBSTAGE(2) 1 hour, 0 deg C

STAGE(2)
RGT E 7772-98-7 Na2S2O3, F 144-55-8 NaHCO3
SOL 7732-18-5 Water
CON 0 deg C

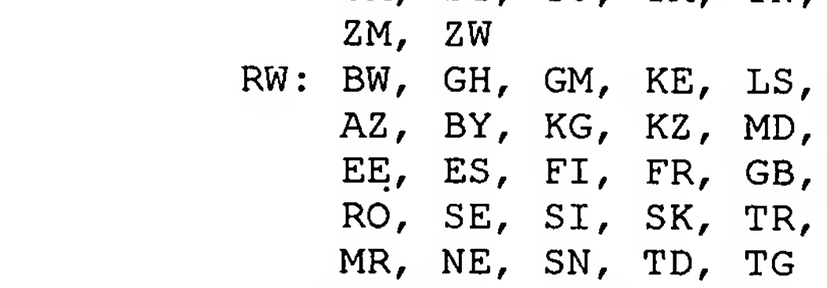
PRO B 868700-05-4, C 868700-07-6
NTE racemate resolution using chiral column chromatography on Chiralpak AS-H5

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 143:440408 CASREACT
TI Preparation of imidazo[4,5-b]pyridine derivatives for treatment of diseases caused by gastric acid
IN Miyazawa, Shuhei; Harada, Hitoshi; Fujisaki, Hideaki; Kubota, Atsuhiko; Kodama, Kotaro; Nagakawa, Junichi; Watanabe, Nobuhisa; Oketani, Kiyoshi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005103049	A1	20051103	WO 2005-JP8311	20050421
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005235906	A1	20051103	AU 2005-235906	20050421
	CA 2562812	A1	20051103	CA 2005-2562812	20050421
	US 2005272764	A1	20051208	US 2005-110756	20050421
	EP 1737862	A1	20070103	EP 2005-737039	20050421
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	CN 1976929	A	20070606	CN 2005-80011558	20050421
	US 2006167041	A1	20060727	US 2006-385786	20060322
	NO 2006004902	A	20061204	NO 2006-4902	20061026
PRAI	JP 2004-126533	20040422			
	US 2005-110756	20050421			
	WO 2005-JP8311	20050421			

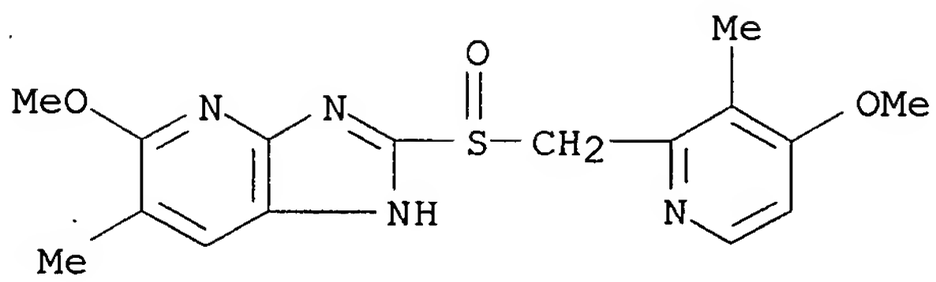
OS MARPAT 143:440408
GI



I

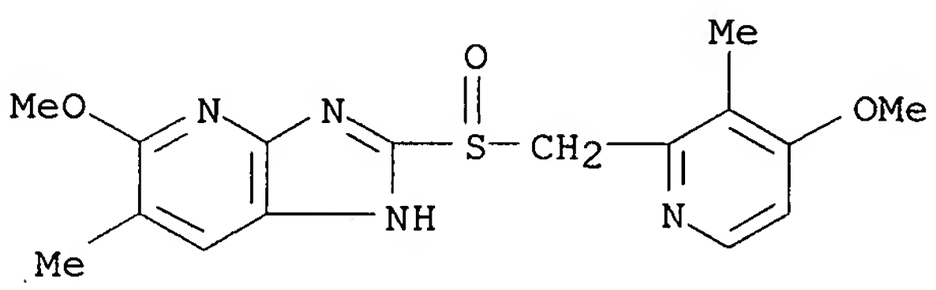
AB Title compds. represented by the formula I [wherein R1 = (un)substituted (cyclo)alkyl, alkenyl, alkynyl or phenyl; R2 = H or alkyl; R3 = Me or Et; R4 = alkyl; R5 = H; and their salts or hydrates thereof] were prepared For example, II (I: R1-R4 = Me, R5 = H) was provided in a multi-step synthesis starting from 2-fluoro-3-methylpyridine. II showed inhibition of gastric acid secretion in rat with 79% inhibition rate, and were tested for cytochrome P 450 gene induction in human cryopreserved hepatocytes. Thus, I and their pharmaceutical compns. are useful for the treatment of the disease caused by gastric acid, such as gastric ulcer.

RX(1) OF 282 ...A ===> B



A

(1)



● Na

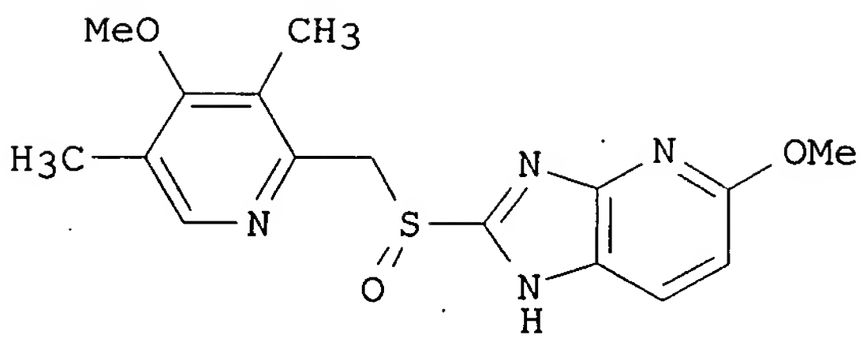
B
YIELD 99%

RX(1) RCT A 868539-24-6
RGT C 1310-73-2 NaOH
PRO B 868539-19-9
SOL 7732-18-5 Water, 64-17-5 EtOH
CON 30 minutes, room temperature
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 142:430268 CASREACT
TI Preparation of (S)- and (R)-enantiomers of tenatoprazole as H+/K+ ATPase inhibitors
IN Li, Shuxin; Zhao, Yanjin; Guo, Jinhua
PA Institute of Radiomedicine, Academy of Military Medical Science of PLA, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1453278	A	20031105	CN 2002-117637	20020510
PRAI	CN 2002-117289		20020423		

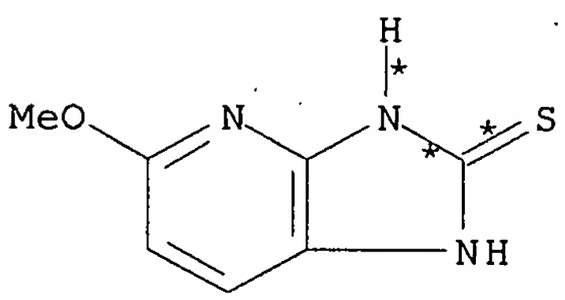
GI



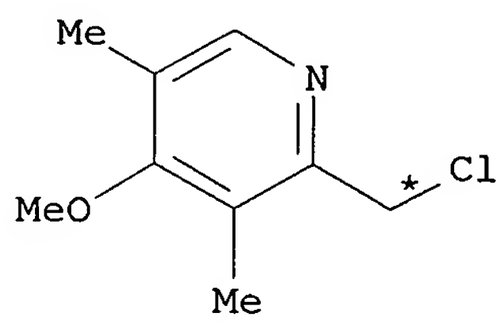
I

AB The invention is related to (S)- and (R)-enantiomers of tenatoprazole I and pharmaceutically acceptable salts thereof, their preparation and uses. (S)-I was synthesized by enantioselective oxidation of the corresponding sulfide with dicumyl peroxide in the presence of (i-PrO)4Ti and D-tartaric acid di-Et ester in 71.5% yield. (R)-I was obtained via oxidation of the corresponding sulfide with m-chloroperbenzoic acid followed by chiral HPLC resolution (37.5% yield). The two enantiomers showed stronger activity than omeprazole and comparable activity to tenatoprazole both in an inhibition assay against H+/K+ ATPase and in a gastric acid secretion-inhibition test in rat. Therefore, the invented compds. are useful for the treatment of gastric acid secretion disorders.

RX(6) OF 43 ...O + Q ===> R...



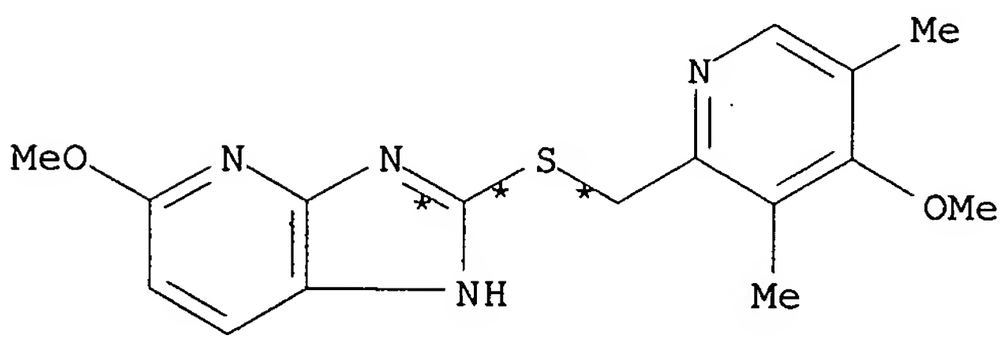
O



● HCl

Q

(6) →



R

RX(6) RCT O 113713-60-3, Q 86604-75-3

STAGE(1)
RGT S 1310-73-2 NaOH
SOL 7732-18-5 Water, 64-17-5 EtOH
CON SUBSTAGE(1) 0.5 hours, 10 deg C

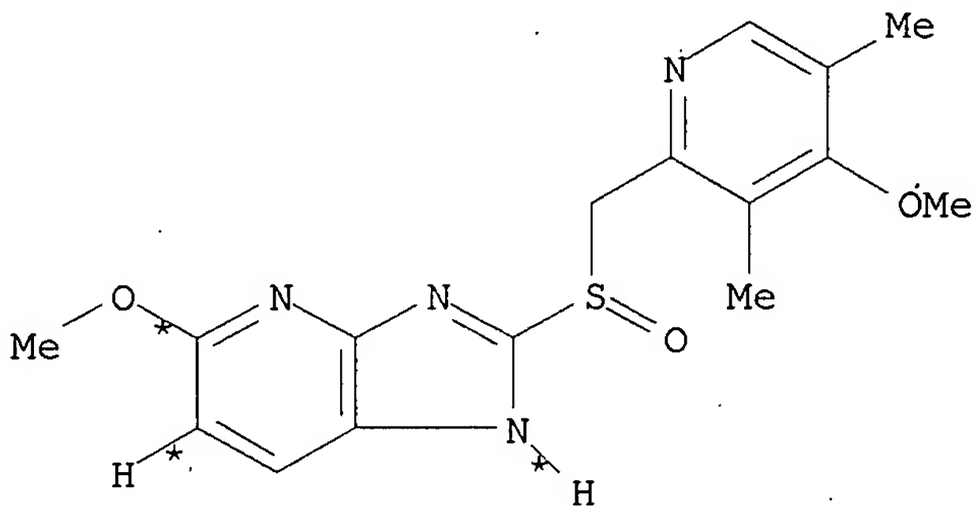
SUBSTAGE(2) 2 hours, 10 deg C
SUBSTAGE(3) overnight, room temperature

STAGE(2)
RGT P 64-19-7 AcOH
SOL 7732-18-5 Water
CON room temperature

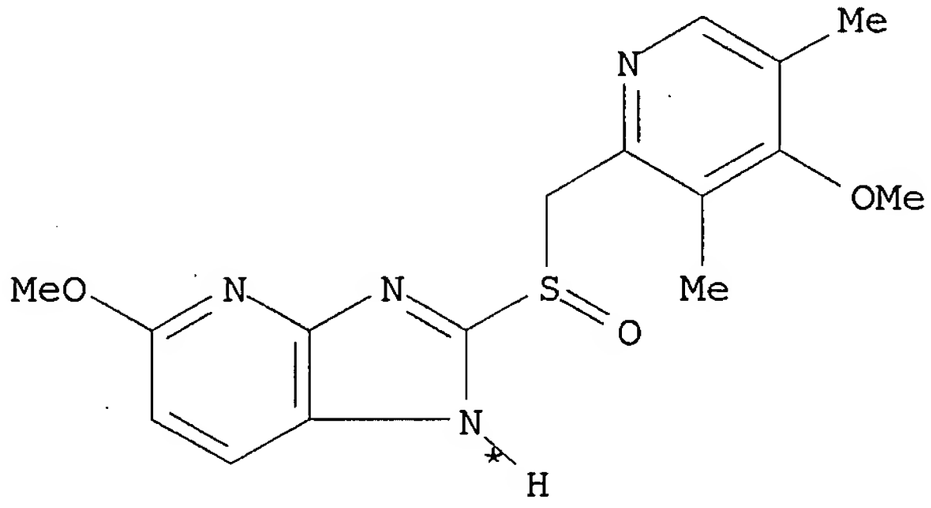
PRO R 113713-24-9

L3 ANSWER 8 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 141:116452 CASREACT
TI Chemistry of Covalent Inhibition of the Gastric (H+, K+)-ATPase by Proton Pump Inhibitors
AU Shin, Jai Moo; Cho, Young Moon; Sachs, George
CS Department of Physiology and Medicine, University of California, Los Angeles, CA, 90073, USA
SO Journal of the American Chemical Society (2004), 126(25), 7800-7811
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB Proton pump inhibitors (PPIs), drugs that are widely used for treatment of acid related diseases, are either substituted pyridylmethylsulfinyl benzimidazole or imidazopyridine derivs. They are all prodrugs that inhibit the acid-secreting gastric (H+, K+)-ATPase by acid activation to reactive thiophiles that form disulfide bonds with one or more cysteines accessible from the exoplasmic surface of the enzyme. This unique acid-catalysis mechanism had been ascribed to the nucleophilicity of the pyridine ring. However, the data obtained here show that their conversion to the reactive cationic thiophilic sulfenic acid or sulfenamide depends mainly not on pyridine protonation but on a second protonation of the imidazole component that increases the electrophilicity of the C-2 position on the imidazole. This protonation results in reaction of the C-2 with the unprotonated fraction of the pyridine ring to form the reactive derivs. The relevant PPI pKa's were determined by UV spectroscopy of the benzimidazole or imidazopyridine sulfinylmethyl moieties at different medium pH. Synthesis of a relatively acid stable analog, N1-Me lansoprazole, allowed direct determination of both pKa values of this intact PPI
allowing calcn. of the two pKa values for all the PPIs. These values predict their relative acid stability and thus the rate of reaction with cysteines of the active proton pump at the pH of the secreting parietal cell. The PPI accumulates in the secretory canaliculus of the parietal cell due to pyridine protonation then binds to the pump and is activated by the second protonation on the surface of the protein to allow disulfide formation.

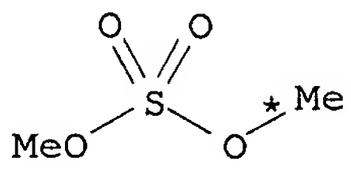
RX(15) OF 26 2 AH + 2 S ===> AI + AJ



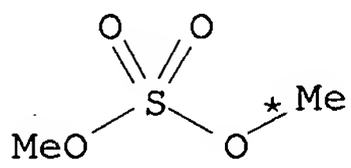
AH



AH

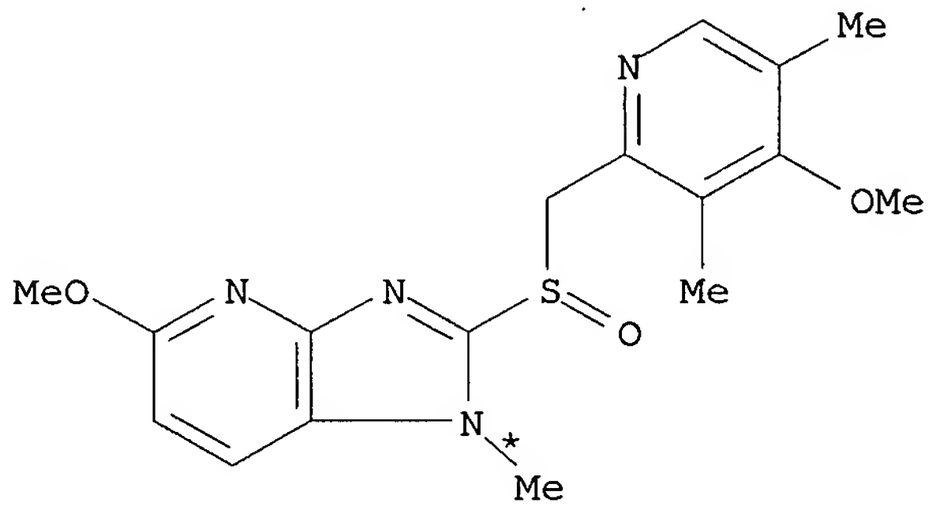


S

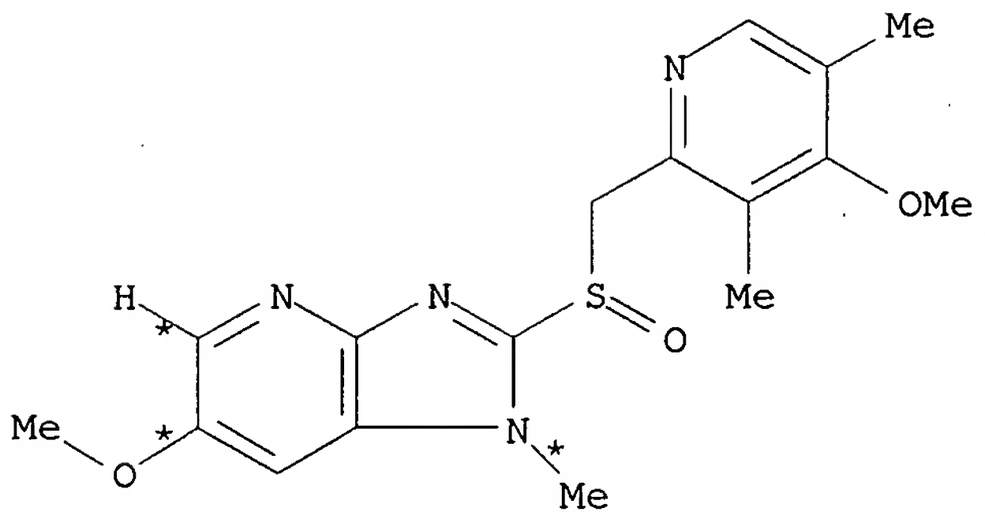


S

(15)



AI



AJ

RX(15) RCT AH 113712-98-4, S 77-78-1

STAGE(1)
RGT U 6674-22-2 DBU
SOL 75-09-2 CH2Cl2
CON room temperature

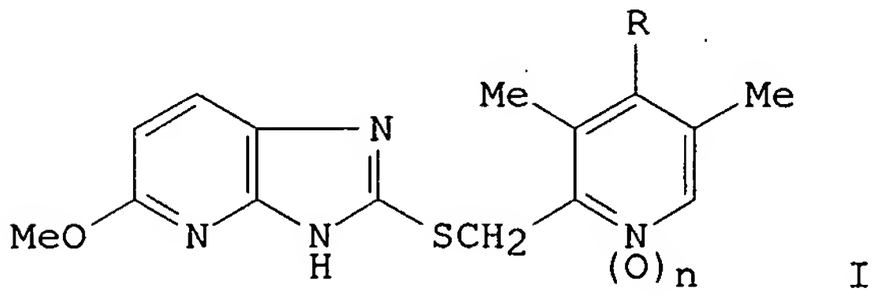
STAGE(2)
SOL 7732-18-5 Water
CON 30 minutes, room temperature

PRO AI 721924-07-8, AJ 721920-63-4
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 9 CASREACT COPYRIGHT 2007 ACS on STN
AN 120:164168 CASREACT
TI Preparation of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine and its intermediates
IN Amano, Michiaki; Takeda, Haruki
PA Tokyo Tanabe Co, Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05222038	A	19930831	JP 1992-25002	19920212
	JP 3158599	B2	20010423		
PRAI	JP 1992-25002		19920212		

GI

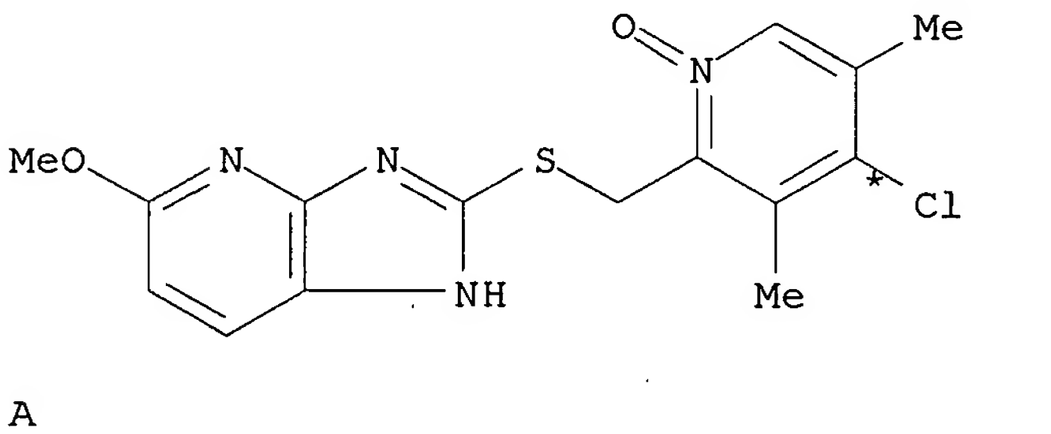


I

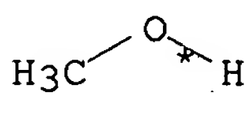
AB The title compound (I; R = MeO, n = 0) (II), useful as an intermediate for a

known antiulcer agent, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridine, is prepared Thus, 4-chloro-2-chloromethyl-3,5-dimethylpyridine N-oxide was stirred with 2-mercapto-5-methoxyimidazo[4,5-b]pyridine in EtOH at 35° for 2.5 h to give 82% I (R = Cl, n = 1) which was refluxed with NaOMe in MeOH-PhMe for 4 h to give 71% I (R = MeO, n = 1). This was stirred with PCl3 in CH2Cl2 at room temperature for 3 h to give 95% II.

RX(1) OF 15 ...A + B ===> C...



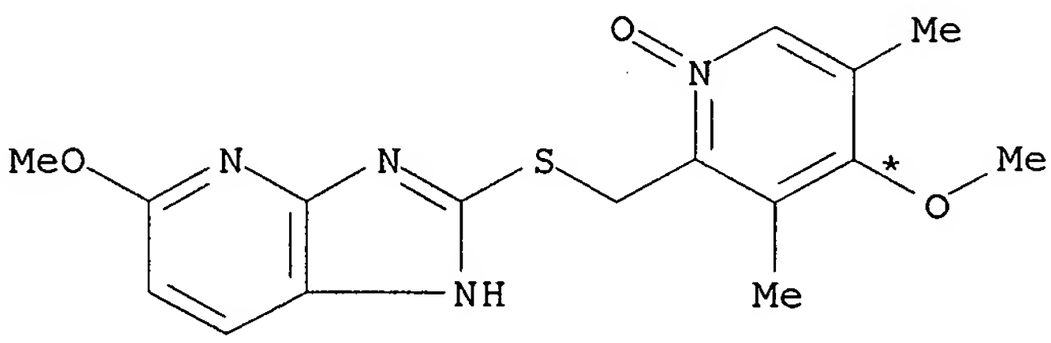
A



● Na

(1) ⟶

B



C
YIELD 71%

RX(1) RCT A 153476-63-2, B 124-41-4
PRO C 153476-64-3
SOL 67-56-1 MeOH, 108-88-3 PhMe
NTE reflux

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	159.18	159.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.57	-6.57

FILE 'STNGUIDE' ENTERED AT 07:14:15 ON 15 AUG 2007

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 10, 2007 (20070810/UP).

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(FILE 'HOME' ENTERED AT 07:11:46 ON 15 AUG 2007)

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L1                STRUCTURE UPLOADED
L2              0 S L1
L3              9 S L1 FULL

     FILE 'STNGUIDE' ENTERED AT 07:14:15 ON 15 AUG 2007

=> log y
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                        1.02     160.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                          ENTRY    SESSION
CA SUBSCRIBER PRICE                                        0.00      -6.57

STN INTERNATIONAL LOGOFF AT 07:24:26 ON 15 AUG 2007
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